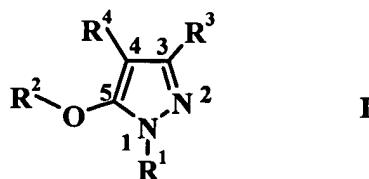


We claim

1. A compound according to formula I



5

wherein

R^1 is selected from the group consisting of C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-7} cycloalkyl, C_{1-3} alkoxy- C_{1-3} alkyl, phenyl and benzyl, wherein,

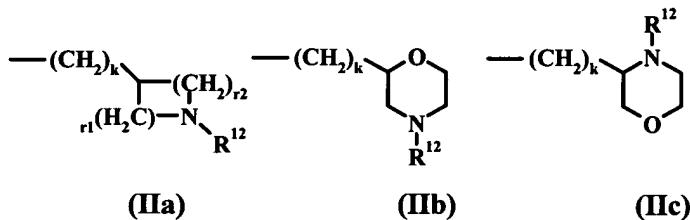
10

said phenyl and said benzyl optionally substituted with one to three substituents independently selected from the group consisting of C₁₋₆alkyl, C₁₋₆haloalkyl, C₁₋₆alkoxy, C₁₋₆haloalkoxy, C₁₋₆alkylthio, nitro, halogen and cyano;

R^2 is phenyl or pyridyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxycarbonyl, and $CONR^6R^7$;

15

R^3 is substituted C_{1-6} alkyl, substituted C_{1-3} alkoxy- C_{1-3} alkyl, substituted C_{3-6} alkenyl, C_{3-7} cycloalkyl, optionally substituted C_{1-3} alkoxy, $(CH_2)_nR^5$, $CH(OH)R^5$, $-(CH_2)_o-O-(CH_2)_pR^5$, NR^6R^7 , $C(=Y)Z$, $-X(C=Y)Z$ or **IIa-c**;



wherein,

20

said alkyl, said C₁₋₃ alkoxy-C₁₋₃ alkyl and said alkenyl are substituted by -OH,

-NR⁶R⁷, -C(=Y)Z, -X(C=Y)Z, CN, -S(O)_q-C₁₋₆alkyl; -SO₂NR⁶R⁷, -SO₂NHNH₂, or -NR⁶SO₂-C₁₋₆alkyl;

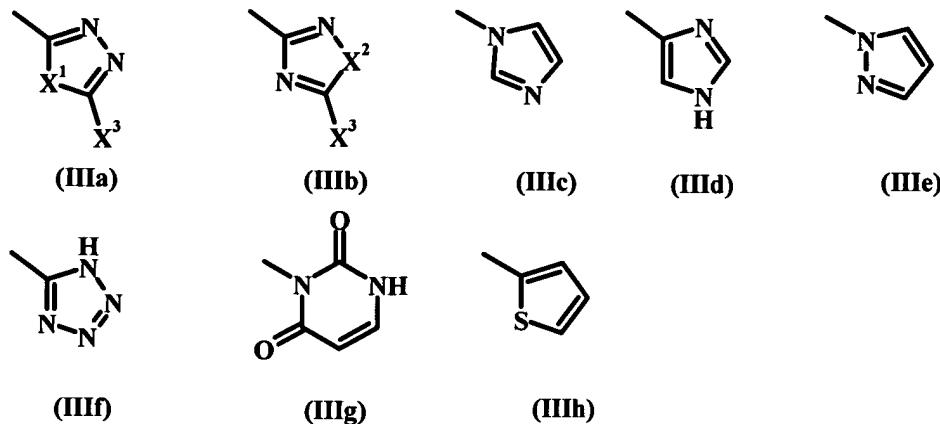
said alkoxy is optionally substituted by -OH, -NR⁶R⁷, -C(=Y)Z, -X(C=Y)Z,

-S(O)_q-C₁₋₆alkyl; -SO₂NR⁶R⁷ or -SO₂NHNH₂;

25

R^{12} is hydrogen, C_{1-6} -alkyl or $-C(=Y)Z$;

R^5 is a phenyl or a heteroaryl ring according to formula IIIa-IIIb:



wherein

X¹ is selected from the group consisting of -R¹⁰C=CR^{10a}-, -O-, -S-, -NR⁶- and -CHR⁶;

X² is selected from the group consisting of -R¹⁰C=CR^{10a}-, -O-, -S-, and

5 -CHR⁶-;

X³ is selected from the group consisting of hydrogen, hydroxyl and thiol;

R¹⁰ and R^{10a} are independently selected from the group consisting of hydrogen or C₁₋₆ alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, C₁₋₆alkoxy, thiol, C₁₋₆alkylthio, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, halogen, amino, C₁₋₆alkylamino, C₁₋₃dialkylamino, amino-C₁₋₃alkyl, C₁₋₃alkylamino-C₁₋₃alkyl, and C₁₋₃dialkylamino-C₁₋₃alkyl; said phenyl and said heteroaryl ring optionally substituted with halo, -OR⁶, -NR⁶R⁷, -C(=O)Z, -X(C=O)Z

10 R⁴ is C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₇cycloalkyl, C₁₋₃alkoxy-C₁₋₃alkyl, -(CH₂)_nR¹¹ or -

15 (CH₂)_o-O- (CH₂)_pR¹¹;

wherein,

said alkyl, said alkenyl, said alkynyl and said cycloalkyl are optionally substituted by -OH, -OR⁶, -NR⁸R⁹, -C(=Y)Z, -X(C=Y)Z, -S(O)_q-C₁₋₆alkyl, -SO₂NR⁶R⁷ or -SO₂NHNH₂;

20 R¹¹ is a phenyl or a heteroaryl ring selected from the group consisting of pyridinyl, pyrimidinyl pyrazinyl, pyrrole, imidazole, pyrazole and thiophene, said heteroaryl ring and said phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C₁₋₃ alkyl, C₁₋₃ haloalkyl and C₁₋₃ alkoxy; or R¹¹ is N[(CH₂)₂]₂W wherein W is selected from the group consisting of NR⁶, (CH₂)_s, N(C=O)Z, CHOR⁶, CHR⁶, CHNHC(=O)Z and CHNR⁶R⁷;

25 n, o, p and q are as defined below and s is 0 or 1;

R^6 , R^7 , R^8 and R^9 (i) taken independently are selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{1-6} hydroxyalkyl, C_{1-3} alkoxy- C_{1-3} alkyl C_{1-3} alkylamino- C_{1-3} alkyl and C_{1-3} dialkylamino- C_{1-3} alkyl or (ii) when both R^6 and R^7 are attached to the same nitrogen atom they may be taken together, along with the nitrogen, to form a pyrrolidine, piperidine, 5 piperazine or morpholine;

X , and Y are independently O or NR^6 ;

Z is hydrogen, hydroxyl, C_{1-6} alkoxy, NR^6R^{13} , C_{1-6} alkyl, C_{1-3} alkoxy- C_{1-3} alkyl wherein R^{13} is R^7 or phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl and C_{1-3} alkoxy;

10 n is 0 to 3;

o and p are independently 0 to 4 and $o + p \leq 5$;

q is 0 to 2;

k , r_1 and r_2 are independently 0 to 4, and $5 \geq (r_1 + r_2) \geq 2$; and,

acid addition salts, hydrates and solvates thereof; with the proviso that when R^4 is $-(CH_2)_nR^{11}$, n is 1 and

15 R^{11} is substituted phenyl, R^2 is other than unsubstituted phenyl.

2. A compound according to claim 1 wherein:

R^1 is selected from the group consisting of C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-7} cycloalkyl, C_{1-3} alkoxy- C_{1-6} alkyl and optionally-substituted phenyl;

20 R^2 is optionally substituted phenyl; and,

R^4 is C_{1-6} alkyl, C_{3-7} cycloalkyl, $(CH_2)_nR^{11}$ or $-(CH_2)_o-O-(CH_2)_pR^{11}$; wherein,

said alkyl and said cycloalkyl are optionally substituted by-OH, - OR^6 , - NR^8R^9 , - $C(=Y)Z$ or - $X(C=Y)Z$;

25 R^{11} is a phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl and C_{1-3} alkoxy.

3. A compound according to claim 2 wherein R^3 is substituted C_{1-6} alkyl, **IIa-c** or $-(CH_2)_nR^5$ wherein R^5 is **IIIa-IIIh**.

30 4. A compound according to claim 2 wherein R^3 is $-(CH_2)_nNR^6R^7$, $-(CH_2)_nC(=O)Z$ or $-(CH_2)_nXC(=O)Z$.

5. A compound according to claim 1 wherein:

R^1 is selected from the group consisting of C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-7} cycloalkyl, C_{1-3} alkoxy- C_{1-3} alkyl and optionally substituted phenyl;

R^2 is optionally substituted phenyl; and,

R^4 is C_{1-6} alkyl, C_{3-7} cycloalkyl, $-(CH_2)_nR^{11}$ or $-(CH_2)_o-O-(CH_2)_pR^{11}$; wherein,

5 said alkyl and said cycloalkyl are optionally substituted by -OH, -OR⁶, -NR⁸R⁹,
-C(=Y)Z, -X(C=Y)Z;

10 R^{11} is a heteroaryl ring selected from the group consisting of pyridinyl, pyrimidinyl
pyrazinyl, pyrrole, imidazole, pyrazole and thiophene, said heteroaryl ring
optionally substituted with one to three groups independently selected from the
group consisting of halogen, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl and C_{1-3} alkoxy.

6. A compound according to claim 5 wherein R^3 is substituted C_{1-6} alkyl, **IIa-c** or $(CH_2)_nR^5$ wherein
 R^5 is **IIIa-IIIh**.

15 7. A compound according to claim 5 wherein R^3 is $(CH_2)_nNR^6R^7$, $(CH_2)_nC(=O)Z$, or $(CH_2)_nXC(=O)Z$.

8. A compound according to claim 1 wherein:

10 R^1 is selected from the group consisting of C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-7} cycloalkyl,
 C_{1-3} alkoxy- C_{1-3} alkyl and optionally substituted phenyl;

20 R^2 is optionally substituted phenyl; and,

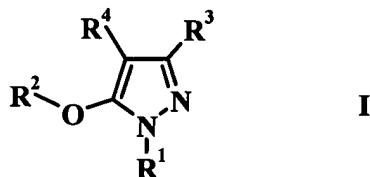
25 R^4 is C_{1-6} alkyl, C_{3-7} cycloalkyl, $-(CH_2)_nR^{11}$ or $-(CH_2)_o-O-(CH_2)_pR^{11}$; wherein,
 said alkyl and said cycloalkyl are optionally substituted by -OH, -OR⁶, -NR⁸R⁹,
-C(=Y)Z, -X(C=Y)Z;

R^{11} is $N[(CH_2)_2]_2W$ wherein W is selected from the group consisting of NR⁶, $(CH_2)_s$,
and N(C=O)Z, CHOR⁶, CHR⁶CHNHC(=O)Z and CHNR⁶R⁷.

9. A compound according to claim 8 wherein R^3 is substituted C_{1-6} alkyl, **IIa-c** or $(CH_2)_nR^5$ wherein
 R^5 is **IIIa-IIIh**.

30 10. A compound according to claim 8 wherein R^3 is $-(CH_2)_nNR^6R^7$, $-(CH_2)_nC(=O)Z$ or
 $-(CH_2)_nXC(=O)Z$.

11. A method for treating an HIV infection, or preventing an HIV infection, or treating AIDS or ARC, comprising administering to a host in need thereof a therapeutically effective amount of a compound of formula I



5 wherein

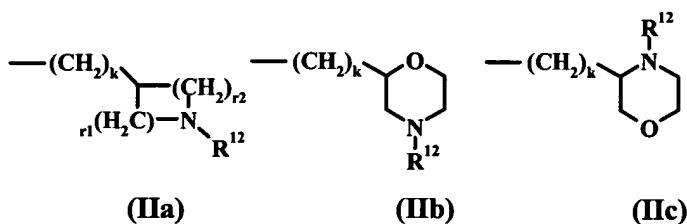
R^1 is selected from the group consisting of C_{1-6} alkyl, C_{1-6} haloalkyl, C_{3-6} alkenyl, C_{3-6} alkynyl, C_{3-7} cycloalkyl, C_{1-3} alkoxy- C_{1-3} alkyl, phenyl and benzyl, wherein,

said phenyl and said benzyl optionally substituted with one to three substituents independently selected from the group consisting of C₁₋₆alkyl, C₁₋₆haloalkyl,

10 **C₁₋₆alkoxy, C₁₋₆haloalkoxy, C₁₋₆alkylthio, nitro, halogen and cyano;**

R^2 is phenyl or pyridyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkoxy carbonyl, and $CONR^6R^7$;

15 R³ is substituted C₁₋₆alkyl, substituted C₁₋₃alkoxy-C₁₋₃alkyl, substituted C₃₋₆alkenyl, C₃₋₇ cycloalkyl, optionally substituted C₁₋₆alkoxy, -(CH₂)_nR⁵, -CH(OH)R⁵, -(CH₂)_o-O-(CH₂)_pR⁵, -NR⁶R⁷, -C(=Y)Z, -X(C=Y)Z or IIa-c;



wherein,

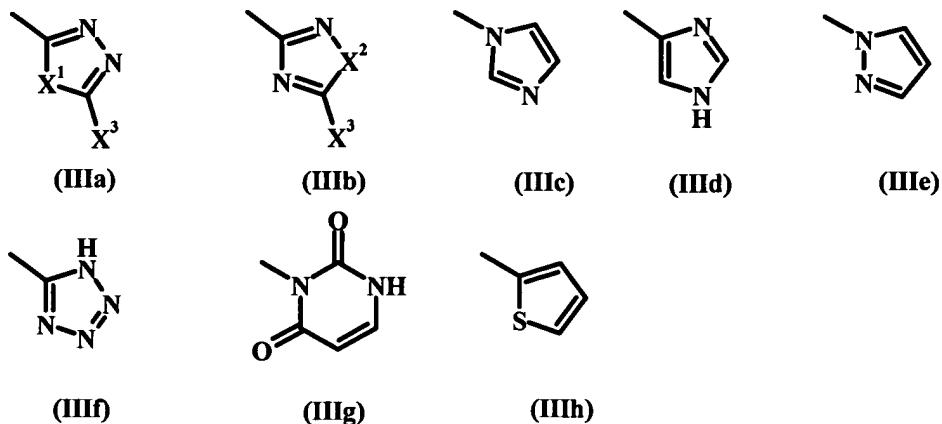
said alkyl, said C₁₋₃alkoxy-C₁₋₃alkyl and said alkenyl are substituted by -OH,

20 -NR⁶R⁷, -C(=Y)Z, -X(C=Y)Z, CN, -S(O)_q-C₁₋₆ alkyl, -SO₂NR⁶R⁷, -SO₂NHNH₂ or
-NR⁶SO₂-C₁₋₆ alkyl;

said alkoxy is optionally substituted by -OH, -NR⁶R⁷, -C(=Y)Z, -X(C=Y)Z, -S(O)_q-C₁₋₆alkyl; -SO₂NR⁶R⁷ or -SO₂NHNH₂;

R^{12} is hydrogen, C_{1-6} alkyl or $-C(=Y)Z$;

25 R⁵ is a phenyl or a heteroaryl ring according to formula IIIa-IIIh;



wherein

X¹ is selected from the group consisting of R¹⁰C=CR^{10a}, -O-, -S-, -NR⁶- and -CHR⁶;

X² is selected from the group consisting of R¹⁰C=CR^{10a}, -O-, -S-, and -CHR⁶-;

5 X³ is selected from the group consisting of hydrogen, hydroxyl and thiol;

10 R¹⁰ and R^{10a} are independently selected from the group consisting of hydrogen or C₁₋₆alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, C₁₋₆alkoxy, thiol, C₁₋₆alkylthio, C₁₋₆alkylsulfinyl, C₁₋₆alkylsulfonyl, halogen, amino, C₁₋₆alkylamino, C₁₋₃dialkylamino, amino-C₁₋₃alkyl, C₁₋₃alkylamino-C₁₋₃alkyl, and C₁₋₃dialkylamino-C₁₋₃alkyl; said phenyl and said heteroaryl ring optionally substituted with halo, -OR⁶, -NR⁶R⁷, C(=O)Z, -X(C=O)Z;

15 R⁴ is C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, C₃₋₇cycloalkyl, C₁₋₃alkoxy-C₁₋₃alkyl, -(CH₂)_nR¹¹ or -(CH₂)_o-O-(CH₂)_pR¹¹; wherein,

20 said alkyl, said alkenyl, said alkynyl and said cycloalkyl are optionally substituted by -OH, -OR⁶, -NR⁸R⁹, -C(=Y)Z, -X(C=Y)Z, -S(O)_q-C₁₋₆alkyl, -SO₂NR⁶R⁷ or -SO₂NHNH₂;

25 R¹¹ is a phenyl or a heteroaryl ring selected from the group consisting of pyridinyl, pyrimidinyl pyrazinyl, pyrrole, imidazole, pyrazole and thiophene said heteroaryl ring and said phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C₁₋₃alkyl, C₁₋₃haloalkyl and C₁₋₃alkoxy; or R¹¹ is N[(CH₂)₂]₂W wherein W is selected from the group consisting of NR⁶, (CH₂)_s, -N(C=O)Z, CHOR⁶, CHR⁶CHNHC(=O)Z and CHNR⁶R⁷;

n, o, p and q are as defined below and s is 0 or 1;

25 R⁶, R⁷, R⁸ and R⁹ (i) taken independently are selected from the group consisting of hydrogen, C₁₋₆alkyl, C₁₋₆hydroxyalkyl, C₁₋₆alkoxy-C₁₋₃alkyl, C₁₋₃alkylamino-C₁₋₃alkyl and C₁₋₃

dialkylamino-C₁₋₃alkyl or (ii) when both R⁶ and R⁷ are attached to the same nitrogen atom they may be taken together, along with the nitrogen, to form a pyrrolidine, piperidine, piperazine or morpholine;

X, and Y are independently -O- or -NR⁶;

5 Z is hydrogen, hydroxyl, C₁₋₆alkoxy, NR⁶R¹³, C₁₋₆alkyl, C₁₋₃alkoxy-C₁₋₃alkyl wherein R¹³ is R⁷ or phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C₁₋₃ alkyl, C₁₋₃ haloalkyl and C₁₋₃ alkoxy;

n is 0 to 3;

o and p are independently 0 to 4 and o + p ≤ 5;

10 q is 0 to 2;

k, r₁ and r₂ are independently 0 to 4, and 5 ≥ (r₁ + r₂) ≥ 2; and,

acid addition salts, hydrates and solvates thereof; with the proviso that when R⁴ is (CH₂)_nR¹¹, n is 1 and R¹¹ is substituted phenyl, R² is other than unsubstituted phenyl.

15 12. A method for treating HIV infection according to claim 11 further comprising co-administering at least one compound selected from the group consisting of HIV protease inhibitors, nucleoside reverse transcriptase inhibitors, non-nucleoside reverse transcriptase inhibitors, CCR5 inhibitors and viral fusion inhibitors.

20 13. A method according to claim 12 wherein the reverse transcriptase inhibitor is selected from the group consisting of zidovudine, lamivudine, didanosine, zalcitabine and stavudine, rescriptor, sustiva and viramune and/or the protease inhibitor is selected from the group consisting of saquinavir, ritonavir, nelfinavir, indinavir, amprenavir, lopinavir and atazanavir.

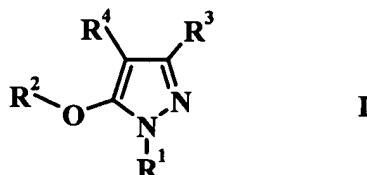
25 14. A method for inhibiting a retrovirus reverse transcriptase comprising administering a compound according to claim 11.

15. A method for treating an HIV infection, or preventing an HIV infection, or treating AIDS or ARC, wherein the host is infected with a strain of HIV expressing a reverse transcriptase with at least one mutation, comprising administering to a host in need thereof a therapeutically effective amount of a compound according to claim 11.

16. A method for treating an HIV infection, or preventing an HIV infection, or treating AIDS or ARC, wherein said strain of HIV exhibits reduced susceptibility to efavirenz, delavirdine or nevirapine, comprising administering to a host in need thereof a therapeutically effective amount of a compound according to claim 11.

5

17. A pharmaceutical composition comprising a therapeutically effective quantity of a compound of formula I

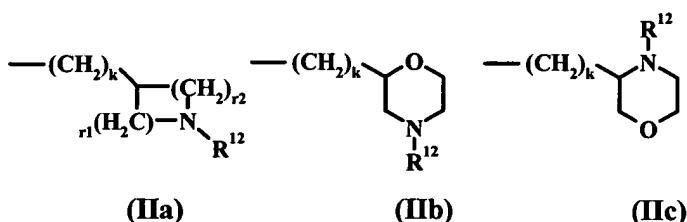


wherein

10 R¹ is selected from the group consisting of C₁₋₆ alkyl, C₁₋₆haloalkyl, C₃₋₆alkenyl, C₃₋₆alkynyl, C₃₋₇cycloalkyl, C₁₋₃alkoxy-C₁₋₃alkyl, phenyl and benzyl, wherein, said phenyl and said benzyl optionally substituted with one to three substituents independently selected from the group consisting of C₁₋₆alkyl, C₁₋₆haloalkyl, C₁₋₆alkoxy, C₁₋₆haloalkoxy, C₁₋₆alkylthio, nitro, halogen and cyano;

15 R² is phenyl or pyridyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C₁₋₆alkyl, C₁₋₆alkoxy, C₁₋₆alkoxycarbonyl, and CONR⁶R⁷:

R³ is substituted C₁₋₆ alkyl, substituted C₁₋₃alkoxy-C₁₋₃ alkyl, substituted C₃₋₆alkenyl, C₃₋₇ cycloalkyl, optionally substituted C₁₋₆alkoxy, -(CH₂)_nR⁵, -CH(OH)R⁵, -(CH₂)_o-O-(CH₂)_pR⁵, -NR⁶R⁷, -C(=Y)Z, -X(C=Y)Z or IIa-c:

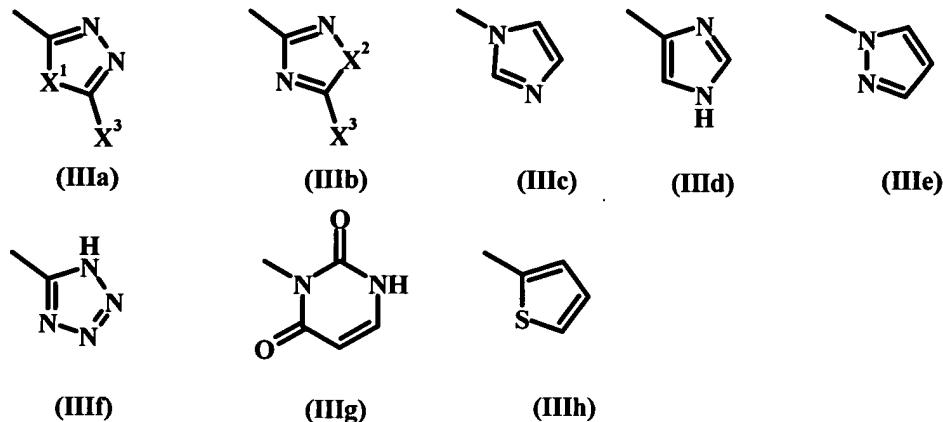


wherein,

25 said alkyl, said C_{1-3} alkoxy- C_{1-3} alkyl and said alkenyl are substituted by -OH, -NR⁶R⁷, -C(=Y)Z, -X(C=Y)Z, CN, -S(O)_q-C₁₋₆alkyl, -SO₂NR⁶R⁷, -SO₂NHNH₂, or -NR⁶SO₂-C₁₋₆alkyl;
said alkoxy is optionally substituted by -OH, -NR⁶R⁷, -C(=Y)Z, -X(C=Y)Z, -S(O)_q-C₁₋₆alkyl, -SO₂NR⁶R⁷ or -SO₂NHNH₂;

R^{12} is hydrogen, C_{1-6} alkyl or $-C(=Y)Z$;

R^5 is a phenyl or a heteroaryl ring according to formula IIIa-IIIh;



wherein

5 X^1 is selected from the group consisting of $R^{10}C=CR^{10a}$, $-O-$, $-S-$, $-NR^6-$ and $-CHR^6$;

X^2 is selected from the group consisting of $R^{10}C=CR^{10a}$, $-O-$, $-S-$, and $-CHR^6$;

X^3 is selected from the group consisting of hydrogen, hydroxyl and thiol;

10 R^{10} and R^{10a} are independently selected from the group consisting of hydrogen or C_{1-6} alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, C_{1-6} alkoxy, thiol, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, halogen, amino, C_{1-6} alkylamino, C_{1-3} dialkylamino, amino- C_{1-3} alkyl, C_{1-3} alkylamino- C_{1-3} alkyl, and C_{1-3} dialkylamino- C_{1-3} alkyl;

said phenyl and said heteroaryl ring optionally substituted with halo, $-OR^6$, $-NR^6R^7$,

15 $-C(=O)Z$, $-X(C=O)Z$

R^4 is C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-7} cycloalkyl, C_{1-3} alkoxy- C_{1-3} alkyl, $-(CH_2)_nR^{11}$ or $-(CH_2)_o-O-(CH_2)_pR^{11}$; wherein,

said alkyl, said alkenyl, said alkynyl and said cycloalkyl are optionally substituted by $-OH$, $-OR^6$, $-NR^8R^9$, $-C(=Y)Z$, $-X(C=Y)Z$, $-S(O)_q-C_{1-6}$ alkyl, $-SO_2NR^6R^7$ or $-SO_2NHNH_2$;

20 R^{11} is a phenyl or a heteroaryl ring selected from the group consisting of pyridinyl, pyrimidinyl pyrazinyl, pyrrole, imidazole, pyrazole and thiophene, said heteroaryl ring and said phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl and C_{1-3} alkoxy; or R^{11} is $N[(CH_2)_2]_2W$ wherein W is selected from the group consisting of NR^6 , $(CH_2)_s$, $-N(C=O)Z$, $CHOR^6$, CHR^6 $CHNHC(=O)Z$ and $CHNR^6R^7$;

25 n , o , p and q are as defined below and s is 0 or 1;

R^6 , R^7 , R^8 and R^9 (i) taken independently are hydrogen, C_{1-6} alkyl, C_{1-6} hydroxyalkyl, C_{1-3} alkoxy- C_{1-3} alkyl C_{1-3} alkylamino- C_{1-3} alkyl or C_{1-3} dialkylamino- C_{1-3} alkyl or (ii) when both R^6 and R^7 are attached to the same nitrogen atom they may be taken together, along with the nitrogen, to form a pyrrolidine, piperidine, piperazine or morpholine;

5 X , and Y are independently O or NR^6 ;

Z is hydrogen, hydroxyl, C_{1-6} alkoxy, NR^6R^{13} , C_{1-6} alkyl, C_{1-3} alkoxy- C_{1-3} alkyl wherein R^{13} is R^7 or phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C_{1-3} alkyl, C_{1-3} haloalkyl and C_{1-3} alkoxy;

n is 0 to 3;

10 o and p are independently 0 to 4 and $o + p \leq 5$;

q is 0 to 2;

k , $r1$ and $r2$ are independently 0 to 4, and $5 \geq (r1 + r2) \geq 2$; and,

acid addition salts, hydrates and acid addition salts, hydrates and solvates thereof, with the proviso that when R^4 is $(CH_2)_nR^{11}$, n is 1 and R^{11} is substituted phenyl, R^2 is other than unsubstituted phenyl,
15 in admixture with at least one pharmaceutically acceptable carrier or diluent sufficient upon administration in a single or multiple dose regimen for treating diseases mediated by human immunodeficiency virus or for inhibiting HIV.

* * * * *